

=> d 17 1-8 pi

L7 ANSWER 1 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD  
PI WO 9607649 A1 960314 (9617)\* EN 68 pp C07D265-32 <--  
RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE  
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JP KE KG KP KR KZ LK LR LT LU LV MD MG MN MW MX NO NZ PL PT  
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AU 9533913 A 960327 (9627) C07D265-32

L7 ANSWER 2 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD  
PI WO 9605181 A1 960222 (9615)\* EN 77 pp C07D265-32 <--  
RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE  
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RO RU SD SE SG SI SK TJ TM TT UA UG US UZ VN  
AU 9531855 A 960307 (9624) C07D265-32  
ZA 9506757 A 960529 (9628) 76 pp C07D000-00

L7 ANSWER 3 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD  
PI WO 9523798 A1 950908 (9601)\* EN 322 pp C07D413-06 <--  
RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE  
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AU 9519750 A 950918 (9601) C07D413-06  
ZA 9501780 A 951227 (9605) 325 pp C07D000-00  
US 5512570 A 960430 (9623) 76 pp A61K031-535

L7 ANSWER 4 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD  
PI WO 9530674 A1 951116 (9551)\* EN 45 pp C07D413-06 <--  
RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE  
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RO RU SD SE SG SI SK TJ TT UA UG US UZ VN  
AU 9523493 A 951129 (9609) C07D413-06

L7 ANSWER 5 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD  
PI US 5457107 A 951010 (9546)\* 10 pp A61K031-535 <--  
GB 2293168 A 960320 (9615) 36 pp C07D413-06

L7 ANSWER 6 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD

PI WO 9518124 A1 950706 (9533)\* EN 149 pp C07D413-06 <--  
RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE  
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AU 9513223 A 950717 (9544) C07D413-06  
FI 9501762 A 951013 (9601) C07D413-06  
ZA 9410317 A 951129 (9601) 146 pp C07D000-00

L7 ANSWER 7 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD  
PI WO 9516679 A1 950622 (9530)\* EN 320 pp C07D265-32 <--  
RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE  
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AU 9514375 A 950703 (9542) C07D265-32

L7 ANSWER 8 OF 8 WPIDS COPYRIGHT 1996 DERWENT INFORMATION LTD  
PI EP 577394 A1 940105 (9402)\* EN 96 pp C07D265-32 <--  
R: AT BE CH DE DK ES FR GB GR IE IT LI LU NL PT SE  
WO 9400440 A1 940106 (9403) EN 236 pp C07D265-32  
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SD SK UA US  
AU 9341568 A 940106 (9408) C07D265-30  
CA 2099233 A 931230 (9411) C07D265-30  
AU 9346561 A 940124 (9420) C07D265-32  
JP 06172178 A 940621 (9429) 75 pp A61K031-535  
ZA 9304624 A 940831 (9435) 231 pp C07D000-00  
FI 9406133 A 941228 (9512) C07D000-00  
NO 9405064 A 950228 (9518) C07D265-30  
CN 1087902 A 940615 (9531) C07D265-30  
SK 9401600 A3 950711 (9537) C07D265-32  
CZ 9403330 A3 950913 (9545) C07D265-32  
AU 663595 B 951012 (9548) C07D265-32

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(FILE 'HOME' ENTERED AT 14:01:51 ON 06 SEP 96)

FILE 'REGISTRY' ENTERED AT 14:02:03 ON 06 SEP 96

L1 SCREEN 1945 AND 1992 AND 1840  
L2 STRUCTURE UPLOADED  
L3 QUE L2 AND L1

L4 34 S L3  
L5 873 S L3 FUL

FILE 'CAPLUS' ENTERED AT 14:03:59 ON 06 SEP 96  
L6 10 S L5  
SELECT L6 1-10 PN

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L7 8 S E1-E8

FILE 'CAPLUS' ENTERED AT 14:05:41 ON 06 SEP 96

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L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1996

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1996

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1996

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1995

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1995

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1995

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1995

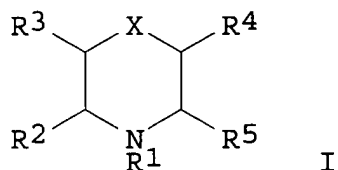
L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1995

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1994

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 1996 ACS  
PY 1994

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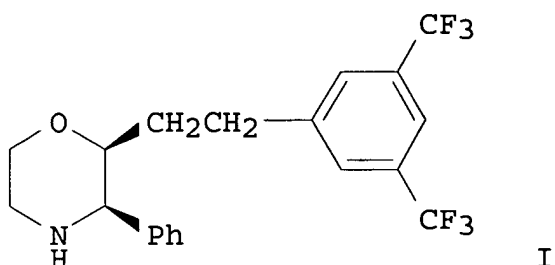
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 1996 ACS  
AN 1995:252333 CAPLUS  
DN 122:56044  
TI Morpholine and thiomorpholine tachykinin receptor antagonists  
IN Dorn, Conrad P.; Hale, Jeffrey J.; Maccoss, Malcolm; Mills, Sander G.; Ladduwahetty, Tamara; Shah, Shrenik K.  
PA Merck and Co., Inc., USA  
SO Eur. Pat. Appl., 96 pp.  
CODEN: EPXXDW  
PI EP 577394 A1 940105  
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  
AI EP 93-305086 930629  
PRAI US 92-905976 920629  
US 92-971448 921104  
US 93-61914 930519  
DT Patent  
LA English  
OS MARPAT 122:56044  
GI



AB Substituted heterocycles I [R1-R3 = H, substituted (e.g., substituted-Ph, -amino, heterocyclyl, etc.) or unsubstituted-C1-6 alkyl; R1 and R2 may join together to form a heterocyclic ring; R4 = substituted-phenylalkyloxy; R5 = Ph, substituted-Ph, substituted (substituted-Ph, -amino, etc.) or unsubstituted-C1-8 alkyl; X = O, S] were prepd, and are tachykinin receptor antagonists useful in the treatment of inflammatory diseases, pain or migraine, and asthma and calcium channel blockers useful in the treatment of cardiovascular conditions such as angina, hypertension or ischemia (no data). A representative prepd. example is (+/-)-2-(3,5-bis(trifluoromethyl)benzyloxy)-3-phenylmorpholine.

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 1996 ACS  
AN 1995:63847 CAPLUS  
DN 122:55968

TI Morpholine-based substance P antagonists: assessment of the 3-point binding model  
 AU Ladduwahetty, T.; Keown, L.; Cascieri, M. A.; Sadowski, S.  
 CS Neurosci. Res. Cent., Merck Sharp and Dohme Res. Lab., Harlow/Essex, CM20 2QR, UK  
 SO Bioorg. Med. Chem. Lett. (1994), 16(4) 1917-20  
 CODEN: BMCLE8; ISSN: 0960-894X  
 DT Journal  
 LA English  
 GI



AB The carbon-linked morpholine analogs, e.g. I, of the Substance P antagonist CP-99,994 were synthesized. The activities of the resulting compds. suggest that there is a specific interaction between the benzylic heteroatom of these antagonists and the NK1 receptor.

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L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 1996 ACS

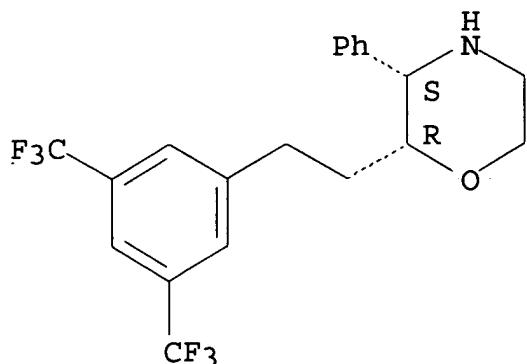
IT 159924-18-2P 159924-20-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. of morpholine-based substance P antagonists)

RN 159924-18-2 CAPLUS

CN Morpholine, 2-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-3-phenyl-, cis-(.+-.)- (9CI) (CA INDEX NAME)

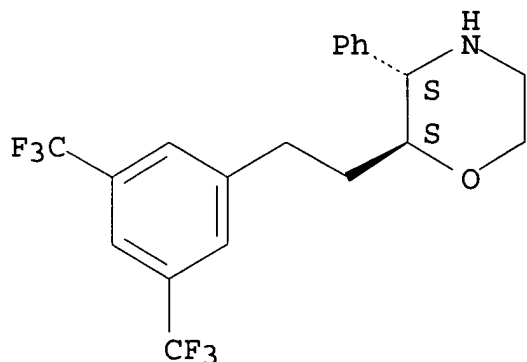
Racemate. One enantiomer shown.



RN 159924-20-6 CAPLUS

CN Morpholine, 2-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-3-phenyl-,  
trans-(.+-.)- (9CI) (CA INDEX NAME)

Racemate. One enantiomer shown.



=> d 16 1-9 cbib

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 1996 ACS

1996:428441 Document No. 125:114657 Preparation of arylmorpholine derivatives as tachykinin antagonists.. Finke, Paul; Harrison, Timothy; Lewis, Richard Thomas; Macleod, Angus Murray; Owens, Andrew Pate (Merck Sharp & Dohme Limited, UK). PCT Int. Appl. WO 9607649 A1 960314, 66 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO

95-GB2039 950830. PRIORITY: GB 94-17956 940902.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 1996 ACS

*date*  
1996:404626 Document No. 125:86654 Preparation of N-(aminobutynyl)morpholines as tachykinin antagonists. Harrison, Timothy; Owens, Andrew Pate; Swain, Christopher John (Merck Sharp and Dohme Limited, UK; Swain, Christopher, John). PCT Int. Appl. WO 9605181 A1 960222, 78 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 95-GB1868 950807. PRIORITY: GB 94-16427 940815; GB 95-9605 950511.

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 1996 ACS

*date*  
1996:202936 Document No. 124:278532 2(S)-((3,5-Bis(trifluoromethyl)benzyl)oxy)-3(S)-phenyl-4-((3-oxo-1,2,4-triazol-5-yl)methyl)morpholine): A Potent, Orally Active, Morpholine-Based Human Neurokinin-1 Receptor Antagonist. Hale, Jeffrey J.; Mills, Sander G.; MacCoss, Malcolm; Shah, Shrenik K.; Qi, Hongbo; Mathre, David J.; Cascieri, Margaret A.; Sadowski, Sharon; Strader, Catherine D.; et al. (Merck Research Laboratories, Rahway, NJ, 07065, USA). J. Med. Chem., 39(9), 1760-2 (English) 1996. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS-IMAGE; CJACS.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 1996 ACS

*date*  
1996:155505 Document No. 124:202285 Morpholine derivatives and their use as antagonists of tachykinins. Haworth, Karen Elizabeth; Teall, Martin Richard; Seward, Eileen Mary; Swain, Christopher John (Merck Sharp and Dohme Ltd., UK). PCT Int. Appl. WO 9530674 A1 951116, 44 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 95-GB983 950501. PRIORITY: GB 94-8960 940505; GB 94-8963 940505.

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 1996 ACS

*date*  
1995:994556 Document No. 124:146177 Preparation of morpholine tachykinin receptor antagonist prodrugs. Dorn, Conrad P.; Hale, Jeffrey J.; MacCoss, Malcolm; Mills, Sander G. (Merck and Co., Inc., USA). PCT Int. Appl. WO 9523798 A1 950908, 325 pp. DESIGNATED

STATES: W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 95-US2551 950228. PRIORITY: US 94-206771 940304.

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 1996 ACS

1995:969422 Document No. 124:29770 Preparation of arylmorpholine derivatives as tachykinin antagonists.. Baker, Raymond; Harrison, Timothy; Macleod, Angus Murray; Owens, Andrew Pate; Seward, Eileen Mary; Swain, Christopher John; Teall, Martin Richard (Merck Sharp and Dohme Ltd., UK). PCT Int. Appl. WO 9518124 A1 950706, 148 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 94-GB2819 941223. PRIORITY: GB 93-26480 931229; GB 94-7189 940412; GB 94-8065 940422; GB 94-16428 940815.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 1996 ACS

1995:943459 Document No. 124:29769 Preparation of (thio)morpholines as tachykinin receptor antagonists. Dorn, Conrad P.; Finke, Paul E.; Hale, Jeffrey J.; MacCoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.; Chambers, Mark Stuart; Harrison, Timothy; Ladduwahetty, Tamara; Williams, Brian John (Merck and Co., Inc., USA). PCT Int. Appl. WO 9516679 A1 950622, 319 pp. DESIGNATED STATES: W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 94-US14497 941213. PRIORITY: US 93-169889 931217.

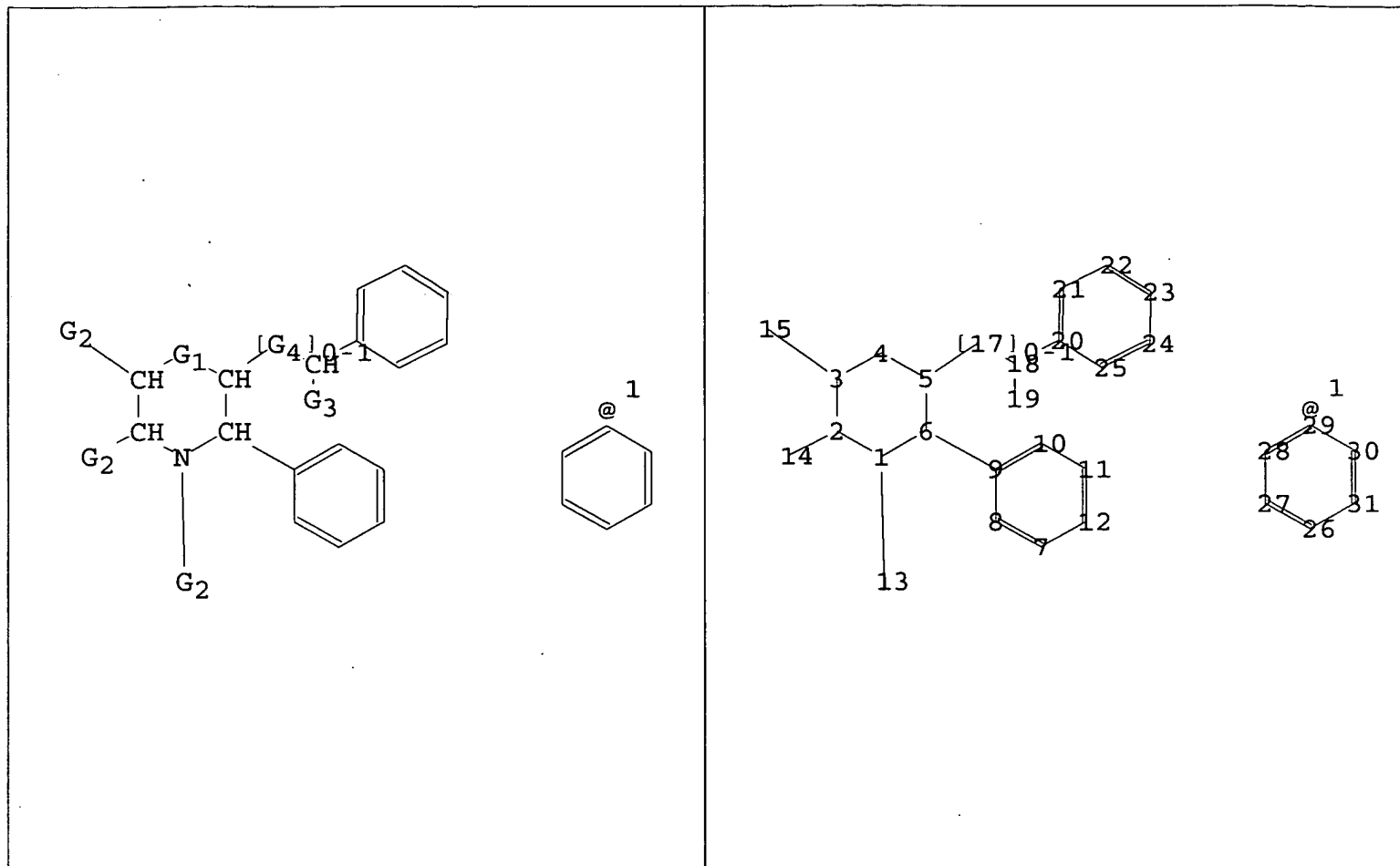
L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 1996 ACS

1995:913755 Document No. 124:117332 Polymorphic form of 2-(S)-[3,5-bis(trifluoromethyl)benzyloxy]-4-[3-(5-oxo-1H,4H-1,2,4-triazolo)methyl]-3-(S)-phenylmorpholine, a tachykinin receptor antagonist. Kaufman, Michael J. (Merck and Co., Inc., USA). U.S. US 5457107 A 951010, 10 pp. (English). CODEN: USXXAM. APPLICATION: US 94-307962 940916.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 1996 ACS



1995:252333 Document No. 122:56044 Morpholine and thiomorpholine tachykinin receptor antagonists. Dorn, Conrad P.; Hale, Jeffrey J.; Maccoss, Malcolm; Mills, Sander G.; Ladduwahetty, Tamara; Shah, Shrenik K. (Merck and Co., Inc., USA). Eur. Pat. Appl. EP 577394 A1 940105, 96 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE. (English). CODEN: EPXXDW. APPLICATION: EP 93-305086 930629. PRIORITY: US 92-905976 920629; US 92-971448 921104; US 93-61914 930519.



chain nodes :

13 14 15 17 18 19

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 20 21 22 23 24 25 26 27 28  
29 30 31

chain bonds :

1-13 2-14 3-15 5-17 6-9 17-18 18-19 18-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 20-21  
20-25 21-22 22-23 23-24 24-25 26-27 26-31 27-28 28-29 29-30  
30-31

exact/norm bonds :

1-2 1-6 1-13 2-3 2-14 3-4 3-15 4-5 5-6 5-17 6-9 17-18 18-19  
18-20

normalized bonds :

7-8 7-12 8-9 9-10 10-11 11-12 20-21 20-25 21-22 22-23 23-24  
24-25 26-27 26-31 27-28 28-29 29-30 30-31

isolated ring systems :

containing 1 :

G2 H,Ak, [\*1]

G3 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,H

G4 C,O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom 11:Atom 12:Atom 13:Class 14:Class 15:Class 17:Class  
18:Class 19:Class 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom  
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom